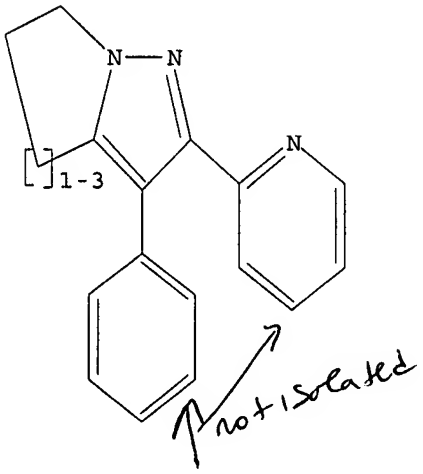
exact/norm bonds :
7-8 7-11 8-9 8-12 9-10 9-14 10-11 12-13 13-14
exact bonds :
3-11 7-17
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 17-18 17-22 18-19 19-20 20-21 21-22
isolated ring systems :
containing 7 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom
22:Atom

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 08:57:16 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 49 TO ITERATE

100.0% PROCESSED 49 ITERATIONS 6 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 560 TO 1400
PROJECTED ANSWERS: 6 TO 266

L2 6 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 08:57:29 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1165 TO ITERATE

100.0% PROCESSED 1165 ITERATIONS 101 ANSWERS
SEARCH TIME: 00.00.01

L3 101 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	172.10	172.31

FILE 'CAPLUS' ENTERED AT 08:57:34 ON 22 AUG 2007
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=> s l3
L4 9 L3

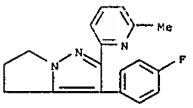
=> d ibib abs hitstr tot

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:675680 CAPLUS
DOCUMENT NUMBER: 147:64572
TITLE: Control of intraocular pressure using ALK5 modulation agents
INVENTOR(S): Fleenor, Debra L.; Pang, Iok-Hou; Shepard, Allan R.; Hellberg, Mark R.; Clark, Abbot F.; Klimko, Peter G.
PATENT ASSIGNEE(S): Alcon, Inc., Switz.
SOURCE: PCT Int. Appl., 31pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

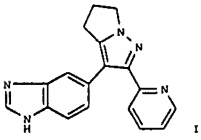
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007070866	A2	20070621	WO 2006-US62151	20061215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2007142376	A1	20070621	US 2006-611312	20061215
PRIORITY APPLN. INFO.:			US 2005-751130P P	20051216

AB The invention discloses an ophthalmic pharmaceutical composition useful in the treatment of glaucoma and control of intraocular pressure comprising an effective amount of a selective modulator of ALK5 receptor activity. The invention also discloses a method for treating glaucoma and controlling intraocular pressure, comprising applying a therapeutically effective amount of a pharmaceutical composition comprising a selective modulator of ALK5 receptor activity to an affected eye of a patient.
IT 476475-07-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ALK5 modulators for control of intraocular pressure and treatment of glaucoma)
RN 476475-07-7 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 3-(4-fluorophenyl)-5,6-dihydro-2-(6-methyl-2-pyridinyl)- (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



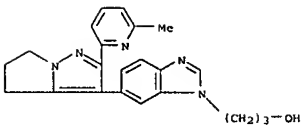
L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:165793 CAPLUS
DOCUMENT NUMBER: 144:390831
TITLE: Dihydropyrrolopyrazole Transforming Growth Factor-β Type I Receptor Kinase Domain Inhibitors: A Novel Benzimidazole Series with Selectivity versus Transforming Growth Factor-β Type II Receptor Kinase and Mixed Lineage Kinase-7
AUTHOR(S): Li, Hong-Yu; Wang, Yan; Heap, Charles R.; King, Chi-Hsin R.; Mundla, Sreenivasa R.; Voss, Matthew; Clawson, David K.; Yan, Lei; Campbell, Robert M.; Anderson, Bryan D.; Wagner, Jill R.; Britt, Karen; Lu, Ku X.; McMillen, William T.; Yingling, Jonathan M.
CORPORATE SOURCE: Discovery Chemistry Research and Technology, Process Chemistry Research, Cancer Research and Lead Optimization Biology, Lilly Research Laboratory, Eli Lilly and Company, Indianapolis, IN, 46285, USA
SOURCE: Journal of Medicinal Chemistry (2006), 49(6), 2138-2142
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:390831
GI



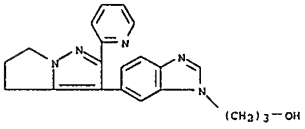
I

AB Novel dihydropyrrolopyrazole-substituted benzimidazoles were synthesized and evaluated in vitro as inhibitors of transforming growth factor-β type I receptor (TGF-β RI), TGF-β RII, and mixed lineage kinase-7 (MLK-7). These compds. were potent TGF-β RI inhibitors and selective vs. TGF-β RII and MLK-7 kinases. Benzimidazole derivative I was active in an in vivo target (TGF-β RI) inhibition assay.
IT 705263-41-8P 705263-43-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of dihydropyrrolopyrazolylbenzimidazoles as selective inhibitors for transforming growth factor-β type I receptor kinase)
RN 705263-41-8 CAPLUS
CN 1H-Benzimidazole-1-propanol, 6-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]- (9CI) (CA INDEX NAME)

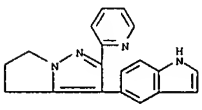
L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 705263-43-0 CAPLUS
CN 1H-Benzimidazole-1-propanol, 6-[5,6-dihydro-2-(2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]- (9CI) (CA INDEX NAME)

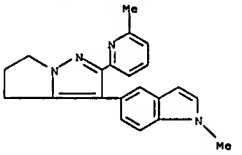


IT 705262-67-5P 705263-00-9P 705263-01-0P
705263-29-2P 705263-30-5P 705263-31-6P
705263-32-7P 705263-33-8P 705263-34-9P
705263-36-1P 705263-45-2P 705263-46-3P
705263-47-4P 705263-48-5P 705263-49-6P
705263-50-9P 883214-98-0P 883214-99-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of dihydropyrrolopyrazolylbenzimidazoles as selective inhibitors for transforming growth factor β type I receptor kinase)
RN 705262-67-5 CAPLUS
CN 1H-Indole, 5-[5,6-dihydro-2-(2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]- (9CI) (CA INDEX NAME)

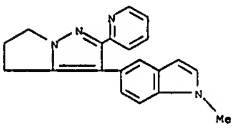


RN 705263-00-9 CAPLUS
CN 1H Indole, 5-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H pyrrolo[1,2-b]pyrazol 3-yl]-1-methyl- (9CI) (CA INDEX NAME)

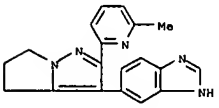
L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 705263-01-0 CAPLUS
CN 1H-Indole,
5-[5,6-dihydro-2-(2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-methyl- (9CI) (CA INDEX NAME)

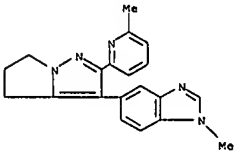


RN 705263-29-2 CAPLUS
CN 1H-Benzimidazole, 5-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]- (9CI) (CA INDEX NAME)

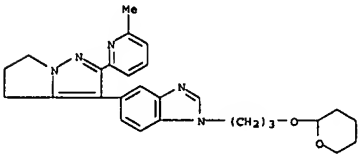


RN 705263-30-5 CAPLUS
CN 1H-Benzimidazole, 6-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-methyl- (9CI) (CA INDEX NAME)

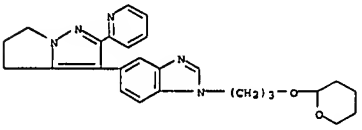
L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 705263-34-9 CAPLUS
CN 1H-Benzimidazole, 5-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-[3-[(tetrahydro-2H-pyran-2-yl)oxy]propyl]- (9CI) (CA INDEX NAME)

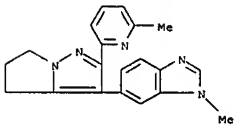


RN 705263-36-1 CAPLUS
CN 1H-Benzimidazole,
5-[5,6-dihydro-2-(2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-[3-[(tetrahydro-2H-pyran-2-yl)oxy]propyl]- (9CI) (CA INDEX NAME)

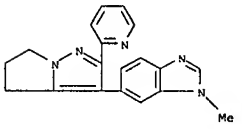


RN 705263-45-2 CAPLUS
CN 1H-Benzimidazole-1-propanamine,
6-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

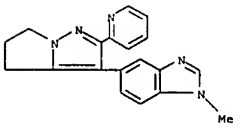
L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 705263-31-6 CAPLUS
CN 1H-Benzimidazole,
6-[5,6-dihydro-2-(2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-methyl- (9CI) (CA INDEX NAME)

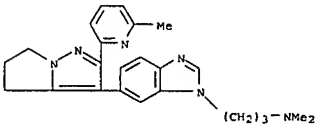


RN 705263-32-7 CAPLUS
CN 1H-Benzimidazole,
5-[5,6-dihydro-2-(2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-methyl- (9CI) (CA INDEX NAME)

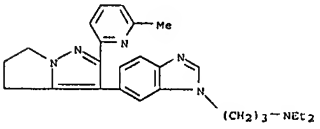


RN 705263-33-8 CAPLUS
CN 1H-Benzimidazole, 5-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-methyl- (9CI) (CA INDEX NAME)

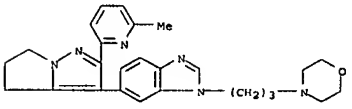
L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



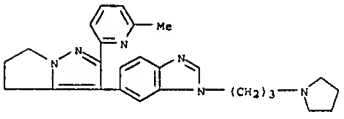
RN 705263-46-3 CAPLUS
CN 1H-Benzimidazole-1-propanamine,
6-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-N,N-diethyl- (9CI) (CA INDEX NAME)



RN 705263-47-4 CAPLUS
CN 1H-Benzimidazole, 6-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-[3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)

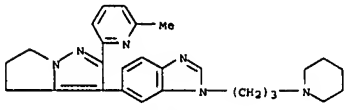


RN 705263-48-5 CAPLUS
CN 1H-Benzimidazole, 6-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-[3-(1-pyrrolidinyl)propyl] (9CI) (CA INDEX NAME)

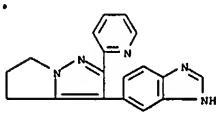


RN 705263-49-6 CAPLUS

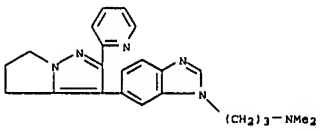
L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN 1H-Benzimidazole, 6-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-[3-(1-piperidinyl)propyl]- (9CI) (CA INDEX NAME)



RN 705263-50-9 CAPLUS
CN 1H-Benzimidazole, 5-[5,6-dihydro-2-(2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]- (9CI) (CA INDEX NAME)

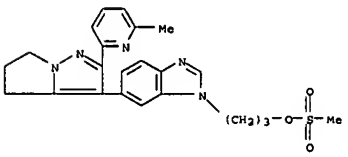


RN 883214-98-0 CAPLUS
CN 1H-Benzimidazole-1-propanamine, 6-[5,6-dihydro-2-(2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

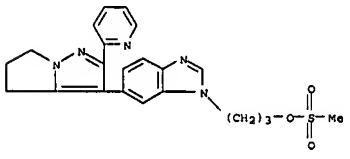


RN 883214-99-1 CAPLUS
CN 1H-Benzimidazole, 6-[5,6-dihydro-2-(2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-[3-(1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
NAME)

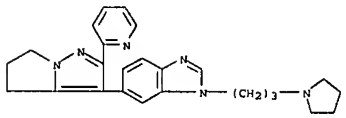


RN 705263-44-1 CAPLUS
CN 1H-Benzimidazole-1-propanol, 6-[5,6-dihydro-2-(2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

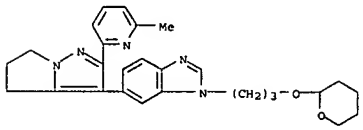


REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

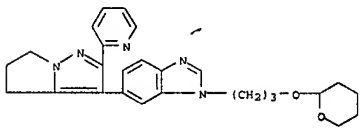
L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



IT 705263-35-0P 705263-37-2P 705263-42-9P
705263-44-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of dihydropyrrolopyrazolylbenzimidazoles as selective inhibitors for transforming growth factor-β type I receptor kinase)
RN 705263-35-0 CAPLUS
CN 1H-Benzimidazole, 6-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-[3-[(tetrahydro-2H-pyran-2-yl)oxy]propyl]- (9CI) (CA INDEX NAME)



RN 705263-37-2 CAPLUS
CN 1H-Benzimidazole, 6-[5,6-dihydro-2-(2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-[3-[(tetrahydro-2H-pyran-2-yl)oxy]propyl] (9CI) (CA INDEX NAME)



RN 705263-42-9 CAPLUS
CN 1H-Benzimidazole-1-propanol, 6-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-, methanesulfonate (ester) (9CI) (CA INDEX

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1130810 CAPLUS
DOCUMENT NUMBER: 143:403951
TITLE: Gene expression profiling for diagnosis and treatment of leiomyoma, endometriosis, ovarian hyperstimulation syndrome, adhesions, endometrial cancer and other fibrotic disorders
INVENTOR(S): Chegini, Nasser; Luo, Xiaoping; Ding, Li; Williams, R.
Stan
PATENT ASSIGNEE(S): University of Florida Research Foundation, Inc., USA
SOURCE: PCT Int. Appl., 202 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005098041	A2	20051020	WO 2005-US10257	20050328
WO 2005098041	A3	20060601		

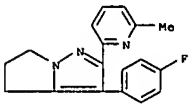
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004 556546P P 20040326
US 2004 620444P P 20041019
US 2004 636240P P 20041215

AB The present invention provides a method for detecting a fibrotic disorder in a subject by providing a biol. sample obtained from the subject such as endometrium, peritoneal fluid, and/or smooth muscle cells and analyzing the expression of at least one gene that is differentially expressed in the fibrotic disorder of interest and correlating the expression of the genes with the presence or absence of the fibrotic disorder in the subject. The present invention also provides a method and compns. for modulating the expression of genes that are differentially expressed in fibrotic tissues, compared to normal tissues. The present invention also includes arrays, such as microfluidic cards, for detecting differential gene expression in samples of fibrotic tissue. Diseases of the invention include leiomyoma, endometriosis, ovarian hyperstimulation syndrome, adhesions, endometrial cancer and other fibrotic disorders.
IT 476475-07-7, LY580276
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(gene expression profiling for diagnosis and treatment of leiomyoma, endometriosis, ovarian hyperstimulation syndrome, adhesions, endometrial cancer and other fibrotic disorders)
RN 476475-07-7 CAPLUS

own work

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN 4H-Pyrrolo[1,2-b]pyrazole, 3-(4-fluorophenyl)-5,6-dihydro-2-(6-methyl-2-pyridinyl)- (CA INDEX NAME)

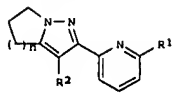


L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1075799 CAPLUS
DOCUMENT NUMBER: 143:367315
TITLE: Preparation of fused pyrazole derivatives as TGF-beta signal transduction inhibitors for the treatment of fibrosis and neoplasms
INVENTOR(S): Li, Hong-Yu; Mcmillen, William Thomas; Wang, Yan
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

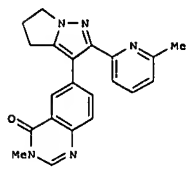
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005092894	A1	20051006	WO 2005-US4812	20050216
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1723146	A1	20061122	EP 2005 723107	20050216
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
US 2007155722	A1	20070705	US 2006 597979	20060815
PRIORITY APPLN. INFO.:			US 2004 548510P	P 20040301
			WO 2005 US4812	W 20050216

OTHER SOURCE(S): CASREACT 143:367315; MARPAT 143:367315
GI

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I

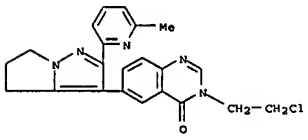


II

AB Title compds. represented by the formula I [wherein R1 = H or alkyl; R2 = (un)substituted 4-quinazolinone-6-yl, 2-quinoxalinone-7-yl or benzo[1,4]oxazin-3-one-6-yl; m = 1-3; and pharmaceutically acceptable salts thereof] were prepared as TGF-β (transforming growth factor-β) signal transduction inhibitors. For example, II was provided in a multi-step synthesis starting from 2-amino-5-iodobenzoic acid. I showed inhibition of TGF-β type I receptor kinase with IC50 values < 20 μM. Thus, I and their pharmaceutical compns. are useful as TGF-β signal transduction inhibitors for the treatment of fibrosis and neoplasms (no data).

IT 866115-91-5P, 3-(2-Chloroethyl)-6-[2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]-3H-quinazolin-4-one
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyrrolo[1,2-b]pyrazole derivs. as TGF-β signal transduction inhibitors for treatment of fibrosis and neoplasms)

RN 866115-91-5 CAPLUS
CN 4(3H)-Quinazolinone, 3-(2-chloroethyl)-6-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]- (9CI) (CA INDEX NAME)

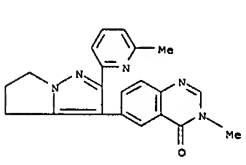


IT 866115-86-8P, 3-Methyl-6-[2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]-3H-quinazolin-4-one 866115-87-9P, 3-Methyl-6-[2-(6-pentylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]-3H-quinazolin-4-one 866115-88-0P, 1-Methyl-7-[2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1H-quinoxalin-2-one 866115-89-1P, 3-Methyl-6-[2-(pyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]-3H-quinazolin-4-one 866115-90-4P, 6-[2-(6-Methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]-4H-benzo[1,4]oxazin-3-one 866115-92-6P

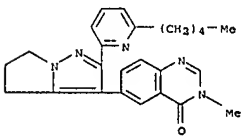
L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

6-[2-(6 Methylpyridin-2-yl) 5,6-dihydro 4H pyrrolo[1,2 b]pyrazol 3-yl] 3-[2-(morpholin-4-yl)ethyl]-3H-quinazolin 4-one 866115-93 7P, 3-(2-Dimethylaminoethyl)-6-[2-(6-methylpyridin 2 yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol 3-yl]-3H quinazolin 4 one 866115 94-8P, 6-[2-(6-Methylpyridin-2 yl)-5,6-dihydro-4H pyrrolo[1,2 b]pyrazol 3-yl]-3 [2-(piperidin-1-yl)ethyl]-3H-quinazolin 4 one 866115-95 9P, 6-[2-(6-Methylpyridin 2-yl)-5,6-dihydro 4H-pyrrolo[1,2 b]pyrazol 3-yl]-3-[2-(pyrrolidin-1-yl)ethyl]-3H-quinazolin-4-one 866115-96-0P, 3-[2-(Azepan-1 yl)ethyl]-6-[2-(6-methylpyridin-2 yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]-3H-quinazolin-4-one 866115-97-1P 866115-98-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrrolo[1,2-b]pyrazole derivs. as TGF-β signal transduction inhibitors for treatment of fibrosis and neoplasms)

RN 866115-86-8 CAPLUS
CN 4(3H)-Quinazolinone, 6-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-3-methyl- (9CI) (CA INDEX NAME)

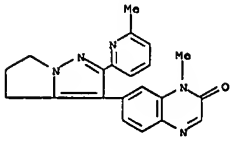


RN 866115-87-9 CAPLUS
CN 4(3H)-Quinazolinone, 6-[5,6-dihydro-2-(6-pentyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-3-methyl (9CI) (CA INDEX NAME)

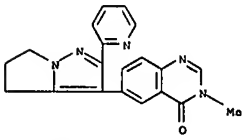


RN 866115-88-0 CAPLUS
CN 2(1H)-Quinoxalinone, 7-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-methyl- (9CI) (CA INDEX NAME)

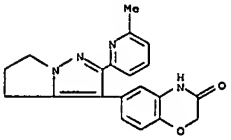
L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 866115-89-1 CAPLUS
CN 4(3H)-Quinazolinone, 6-[5,6-dihydro-2-(2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-3-methyl- (9CI) (CA INDEX NAME)

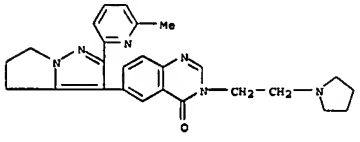


RN 866115-90-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]- (9CI) (CA INDEX NAME)

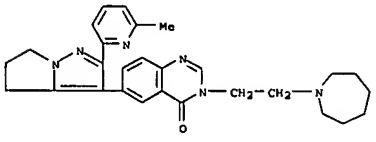


RN 866115-92-6 CAPLUS
CN 4(3H)-Quinazolinone, 6-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-3-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

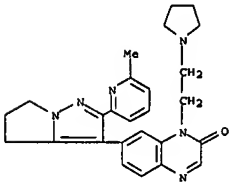
L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 866115-96-0 CAPLUS
CN 4(3H)-Quinazolinone, 6-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-3-[2-(hexahydro-1H-azepin-1-yl)ethyl]- (9CI) (CA INDEX NAME)

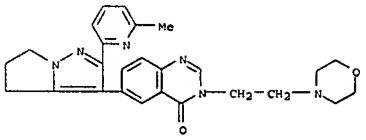


RN 866115-97-1 CAPLUS
CN 2(1H) Quinoxalinone, 7-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

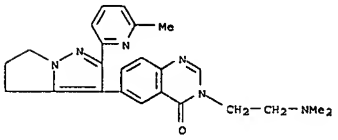


RN 866115-98-2 CAPLUS
CN 2(1H)-Quinoxalinone, 7-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

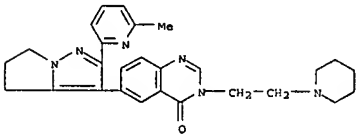
L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 866115-93-7 CAPLUS
CN 4(3H)-Quinazolinone, 6-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-3-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

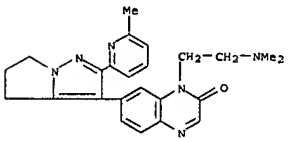


RN 866115-94-8 CAPLUS
CN 4(3H)-Quinazolinone, 6-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-3-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)



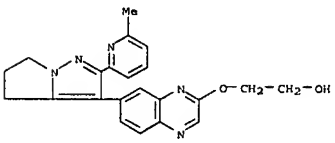
RN 866115-95-9 CAPLUS
CN 4(3H)-Quinazolinone, 6-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-3-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

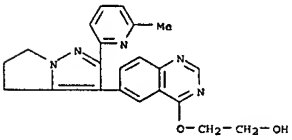


IT 705263-53-2P, 2-[[7-[2-(6-Methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]quinoxalin-2-yl]oxy]ethanol
866115-84-6P, 2-[[6-[2-(6-Methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]quinazolin-4-yl]oxy]ethanol
866115-85-7P, 1-(2-Chloroethyl)-7-[2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1H quinoxalin-2-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrolo[1,2-b]pyrazole derivs. as TGF-β signal transduction inhibitors for treatment of fibrosis and neoplasms)

RN 705263-53-2 CAPLUS
CN Ethanol, 2-[[7-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-2-quinoxalinyl]oxy]- (9CI) (CA INDEX NAME)

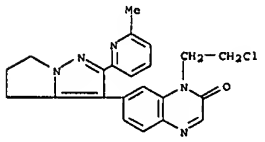


RN 866115-84-6 CAPLUS
CN Ethanol, 2-[[6-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-4-quinazolinyl]oxy]- (9CI) (CA INDEX NAME)



RN 866115-85-7 CAPLUS
CN 2(1H) Quinoxalinone, 1-(2-chloroethyl)-7-[5,6-dihydro-2-(6-methyl-2-

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]- (9CI) (CA INDEX NAME)

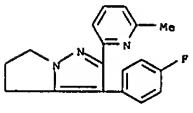


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:78537 CAPLUS
DOCUMENT NUMBER: 142:211379
TITLE: Kinetic Characterization of Novel Pyrazole TGF-β Receptor I Kinase Inhibitors and Their Blockade of the
Epithelial Mesenchymal Transition
AUTHOR(S): Peng, Sheng-Bin; Yan, Lei; Xia, Xiaoling; Watkins, Scott A.; Brooks, Harold B.; Beight, Douglas; Herron, David K.; Jones, Michael L.; Lampe, John W.; McMillen,
William T.; Mort, Nicholas; Sawyer, J. Scott; Yingling, Jonathan M.
CORPORATE SOURCE: Lilly Research Laboratories, Lilly Corporate Center, Indianapolis, IN, 46285, USA
SOURCE: Biochemistry (2005), 44(7), 2293-2304
CODEN: BICHAW; ISSN: 0006-2960
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Transforming growth factor β (TGF β) signaling pathways regulate a wide variety of cellular processes including cell proliferation, differentiation, extracellular matrix deposition, development, and apoptosis. TGF-β type I receptor (TβRI) is the major receptor that triggers several signaling events by activating downstream targets such as the Smad proteins. The intracellular kinase domain of TβRI is essential for its function. In this study, the authors have identified
a short phospho-Smad peptide, pSmad3(-3), KVLTQMGSPSIRCSS(PO4)VS as a substrate of TβRI kinase for in vitro kinase assays. This peptide is uniquely phosphorylated by TβRI kinase at the C terminal serine residue, the phosphorylation site of its parent Smad protein in vivo. Specificity anal. demonstrated that the peptide is phosphorylated by only TβRI and not TGF-β type-II receptor kinase, indicating that the peptide is a physiol. relevant substrate suitable for kinetic anal. and screening of TβRI kinase inhibitors. Utilizing pSmad3(-3) as a substrate, the authors have shown that novel pyrazole compds. are potent inhibitors of TβRI kinase with Ki value as low as 15 nM. Kinetic anal. revealed that these pyrazoles act through the ATP-binding site and are typical ATP competitive inhibitors with tight binding kinetics. More importantly, these compds. were shown to inhibit TGF-β-induced Smad2 phosphorylation in vivo in NMuMg mammary epithelial cells with potency equivalent to the inhibitory activity in the in vitro kinase assay. Cellular
selectivity anal. demonstrated that these pyrazoles are capable of inhibiting activin signaling but not bone morphogenic protein or platelet-derived growth factor signal transduction pathways. Further functional anal. revealed that pyrazoles are capable of blocking the TGF-β-induced epithelial-mesenchymal transition in NMuMg cells, a process involved in the progression of cancer, fibrosis, and other human diseases. These pyrazoles provide a foundation for future development of potent and selective TβRI kinase inhibitors to treat human disease.
IT 476475-07-7, LY 580276
RL: BSU (Biological study, unclassified); DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); BIOL (Biological study)

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(kinetic characterization of novel pyrazole TGF-β receptor I kinase inhibitors and their blockade of epithelial-mesenchymal transition)
RN 476475-07-7 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 3-(4-fluorophenyl)-5,6-dihydro-2-(6-methyl-2-pyridinyl)- (CA INDEX NAME)



REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:493706 CAPLUS
DOCUMENT NUMBER: 141:54330
TITLE: Preparation of novel fused pyrazoles, in particular pyrrolopyrazoles, as transforming growth factor-β (TGF β) signal transduction inhibitors
INVENTOR(S): Beight, Douglas Wade; Burkholder, Timothy Paul; Decollo, Todd Vincent; Godfrey, Alexander Glenn; Heap,
Charles Raymond; King, Chi-Hsin Richard; Li, Hong-Yu; McMillen, William Thomas; Sawyer, Jason Scott; Wang, Yan; Diefenbacher, Clive Gideon; Engler, Thomas Albert; Malhotra, Sushant; Mundla, Sreenivasa Reedy
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004050659	A1	20040617	WO 2003-US35969	20031124
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003290734	A1	20040623	AU 2003-290734	20031124
EP 1567527	A1	20050831	EP 2003-783318	20031124
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006058295	A1	20060316	US 2005-535381	20050516
PRIORITY APPLN. INFO.:			US 2003-429982P	P 20021127
			WO 2003-US35969	W 20031124

OTHER SOURCE(S): MARPAT 141:54330
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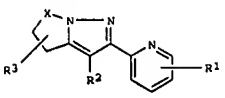
L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



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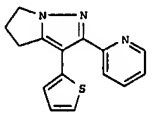


II

AB Title compds. I [wherein X = (CH2)n; n = 0-4; R1 = (un)substituted alk(en/yn)yl, alk(enyl/ynyl)oxy, alkylthio, alkylamino, alkanoyl, alkylcarbamoyl, thiophenyl, Ph, etc.; R2 = (un)substituted thiophenyl, oxazolyl, pyrazinyl, furanyl, imidazo[1,2-a]pyridinyl, benzoimidazolyl, quinoxalinyl, pyrazolo[1,5-a]pyrimidinyl, [1,8]naphthyridinyl, etc.; R3 = H, alkyl; and their pharmaceutically acceptable salts] were prepared as transforming growth factor-β (TGF-β) signal transduction inhibitors. II was prepared in 5 steps by Claisen condensation of Et pyridin-2-carboxylate, condensation of β-carbonyl ester with 1-aminopyrrolidin-2-one•HCl, cyclization in the presence of NaOEt in toluene, decarboxylative bromination, and Pd-cross coupling of the bromide with thiophene-2-boronic acid. Selected I inhibited the TGF-β type I receptor kinase domain with IC50 values < 20 μM. I are useful for treating fibroproliferative diseases associated with TGF-β1 over production

IT 705263-35-0P, 6-[2-(6-Methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-[3-[(tetrahydropyran-2-yl)oxy]propyl]-1H-benzimidazole 705263-36-1P, 5-[2-(Pyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-[3-[(tetrahydropyran-2-yl)oxy]propyl]-1H-benzimidazole 705263-37-2P, 6-[2-(Pyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-[3-[(tetrahydropyran-2-yl)oxy]propyl]-1H-benzimidazole 705263-39-4P, 7-[2-(6-Methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1H-quinoxalin-2-one 705263-41-8P, 3-[6-[2-(6-Methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]benzimidazol-1-yl]propan-1-ol 705263-42-9P, Methanesulfonic Acid 3-[6-[2-(6-Methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]benzimidazol-1-yl]propyl Ester 705263-43-0P, 3-[6-[2-(Pyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]benzimidazol-1-yl]propan-1-ol 705263-74-7P,

2 Chloro-7-[2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

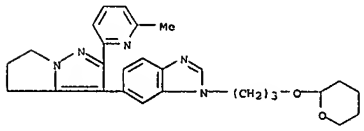
3-yl]quinoxaline

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(TGF β signal transduction inhibitor; prepn. of fused pyrazoles, in particular pyrrolopyrazoles, as TGF-β signal transduction inhibitors)

RN 705263-35-0 CAPLUS

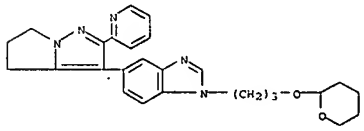
CN 1H-Benzimidazole, 6-[5,6-dihydro-2-(6 methyl 2 pyridinyl)-4H-pyrrolo[1,2 b]pyrazol-3-yl]-1-[3-[(tetrahydro-2H pyran 2 yl)oxy]propyl] (9CI) (CA INDEX NAME)



RN 705263-36 1 CAPLUS

CN 1H-Benzimidazole,

5-[5,6-dihydro-2-(2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-[3-[(tetrahydro-2H-pyran-2-yl)oxy]propyl]- (9CI) (CA INDEX NAME)

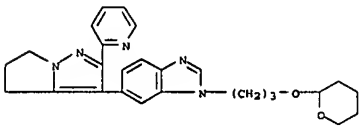


RN 705263-37 2 CAPLUS

CN 1H-Benzimidazole,

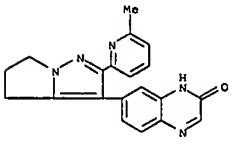
6-[5,6-dihydro-2-(2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-[3-[(tetrahydro-2H-pyran-2-yl)oxy]propyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



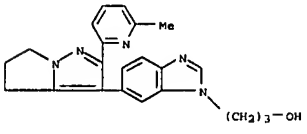
RN 705263-39-4 CAPLUS

CN 2(1H)-Quinoxalinone, 7-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 705263-41-8 CAPLUS

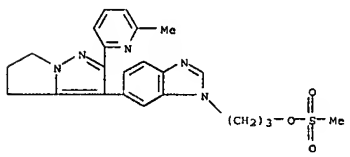
CN 1H-Benzimidazole-1-propanol, 6-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 705263-42-9 CAPLUS

CN 1H-Benzimidazole-1-propanol, 6-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

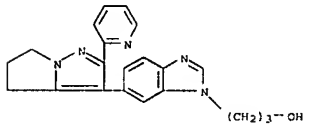
L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 705263-43 0 CAPLUS

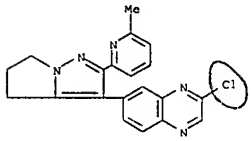
CN 1H-Benzimidazole-1-propanol,

6-[5,6-dihydro-2-(2-pyridinyl)-4H pyrrolo[1,2-b]pyrazol 3-yl]- (9CI) (CA INDEX NAME)



RN 705263-74-7 CAPLUS

CN Quinoxaline, 2 chloro-7-[5,6-dihydro 2-(6 methyl 2 pyridinyl) 4H-pyrrolo[1,2-b]pyrazol-3-yl]- (9CI) (CA INDEX NAME)



IT 705262-67-5P, 5-[2-(Pyridin-2-yl)-5,6-dihydro-4H pyrrolo[1,2-b]pyrazol-3-yl]-1H-indole 705262-76-6P, 6-[2-(Pyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]quinoxaline 705262-78-8P, 5-[2-(Pyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]quinoxaline 705262-93-7P, 7-[2-(6-Methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]isoquinoline 705262 95-9P, 3-(4-Fluorobenzofuran-7-yl)-2-(pyridin 2 yl)-5,6 dihydro-4H-pyrrolo[1,2 b]pyrazole 705262 96-0P, 2-Methyl-5-[2 (pyridin 2 yl) 5,6 dihydro 4H-pyrrolo[1,2 b]pyrazol 3 yl]benzothiazole 705262 97 1P, 2-Methyl-5-[2-(6-methylpyridin 2 yl) 5,6-dihydro 4H pyrrolo[1,2 b]pyrazol-3-yl]benzothiazole 705262 98 2P, 3 (4 Fluorobenzofuran-

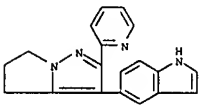
L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
7-yl)-2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole 705262-99-3P, 7-[2-(Pyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]isoquinoline 705263-00-9P, 1-Methyl-5-[2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1H-indole 705263-01-0P, 1-Methyl-5-[2-(pyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1H-indole 705263-04-3P, 3-(2,3-Dihydrobenzofuran-5-yl)-2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole 705263-08-7P, 3-(Benzofuran-5-yl)-2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole 705263-11-2P, 3-(3,4-Dihydro-2H-benzo[b][1,4]dioxepin-7-yl)-2-(pyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole 705263-29-2P, 5-[2-(6-Methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1H-benzimidazole 705263-30-5P, 1-Methyl-6-[2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1H-benzimidazole 705263-31-6P, 1-Methyl-6-[2-(pyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1H-benzimidazole 705263-32-7P,

1-Methyl-5-[2-(pyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1H-benzimidazole 705263-33-8P, 1-Methyl-5-[2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1H-benzimidazole 705263-34-9P, 5-[2-(6-Methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-[3-[(tetrahydropyran-2-yl)oxy]propyl]-1H-benzimidazole 705263-44-1P, Methanesulfonic acid 3-[6-[2-(pyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]benzimidazol-1-yl]propyl ester 705263-45-2P, Dimethyl[3-[6-[2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1H-benzimidazol-1-yl]propyl]amine 705263-46-3P, Diethyl[3-[6-[2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1H-benzimidazol-1-yl]propyl]amine 705263-47-4P, 6-[2-(6-Methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-[3-(morpholin-4-yl)propyl]-1H-benzimidazole 705263-48-5P, 6-[2-(6-Methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-[3-(pyrrolidin-1-yl)propyl]-1H-benzimidazole 705263-49-6P, 6-[2-(6-Methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-[3-(piperidin-1-yl)propyl]-1H-benzimidazole 705263-50-9P, 5-[2-(Pyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1H-benzimidazole 705263-51-0P, 6-[2-(6-Methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]quinoxaline 705263-53-2P, 2-[[7-[2-(6-Methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]quinoxalin-2-yl]oxy]ethanol 705263-55-4P, 6-[2-(6-Methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]isoquinoline 705263-56-5P, 6-[2-(Pyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]benzothiazole 705263-58-7P, [5-[2-(Pyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]benzothiazol-2-yl]amine 705263-59-8P, 4-[2-(Pyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1H-indole 705263-63-4P,

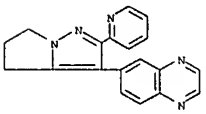
3-(2,3-Dihydrobenzofuran-5-yl)-2-(pyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole 705263-64-5P, Acetic acid 5-[2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]benzofuran-3-yl ester 705263-66-7P, 5-[2-(6-Methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]benzofuran-2-carboxylic acid 705263-75-8P, Dimethyl[2-[[7-[2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]quinoxalin-2-yl]oxy]ethyl]amine 705263-76-9P, 7-[2-(6-Methylpyridin-2-yl)-5,6-dihydro-4H-

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
pyrrolo[1,2-b]pyrazol-3-yl]quinoxaline-2-carboxylic acid amide 705263-79-2P, Dimethyl[2-[[7-[2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]quinoxalin-2-yl]oxy]propyl]amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(TGF-β signal transduction inhibitor; prepn. of fused pyrazoles, in particular pyrrolopyrazoles, as TGF-β signal transduction inhibitors)

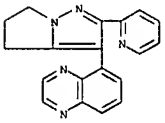
RN 705262-67-5 CAPLUS
CN 1H-Indole, 5-[5,6-dihydro-2-(2-pyridinyl)-4H pyrrolo[1,2-b]pyrazol-3-yl]-(9CI) (CA INDEX NAME)



RN 705262-76-6 CAPLUS
CN Quinoxaline, 6-[5,6-dihydro-2-(2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-(9CI) (CA INDEX NAME)

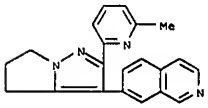


RN 705262-78-8 CAPLUS
CN Quinoxaline, 5-[5,6-dihydro-2-(2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl](9CI) (CA INDEX NAME)

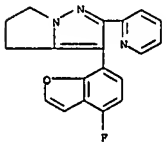


L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

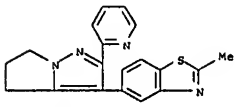
RN 705262-93-7 CAPLUS
CN Isoquinoline, 7-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]- (9CI) (CA INDEX NAME)



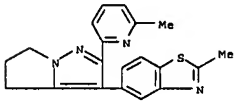
RN 705262-95-9 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 3-(4-fluoro-7-benzofuranyl)-5,6-dihydro-2-(2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 705262-96-0 CAPLUS
CN Benzothiazole, 5-[5,6-dihydro-2-(2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-2-methyl- (9CI) (CA INDEX NAME)

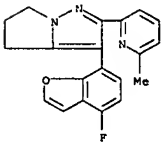


RN 705262-97-1 CAPLUS
CN Benzothiazole, 5-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-2-methyl- (9CI) (CA INDEX NAME)

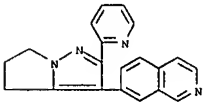


L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

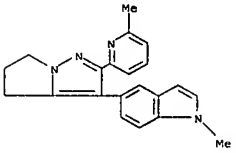
RN 705262-98-2 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 3-(4-fluoro-7-benzofuranyl)-5,6-dihydro-2-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 705262-99-3 CAPLUS
CN Isoquinoline, 7-[5,6-dihydro-2-(2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl] (9CI) (CA INDEX NAME)

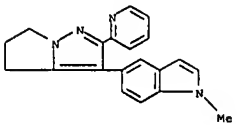


RN 705263-00-9 CAPLUS
CN 1H-Indole, 5-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H pyrrolo[1,2-b]pyrazol-3-yl]-1-methyl- (9CI) (CA INDEX NAME)

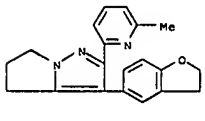


RN 705263-01-0 CAPLUS
CN 1H-Indole, 5-[5,6-dihydro-2-(2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-methyl- (9CI) (CA INDEX NAME)

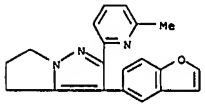
L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



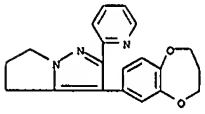
RN 705263-04-3 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 3-(2,3-dihydro-5-benzofuranyl)-5,6-dihydro-2-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



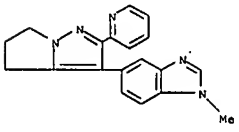
RN 705263-08-7 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 3-(5-benzofuranyl)-5,6-dihydro-2-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



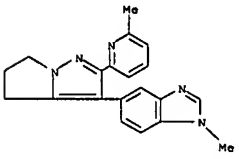
RN 705263-11-2 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 3-(3,4-dihydro-2H-1,5-benzodioxepin-7-yl)-5,6-dihydro-2-(2-pyridinyl)- (9CI) (CA INDEX NAME)



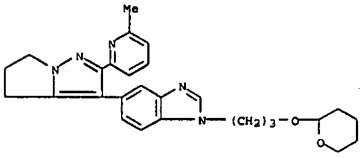
L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 705263-33-8 CAPLUS
CN 1H-Benzimidazole, 5-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-methyl (9CI) (CA INDEX NAME)



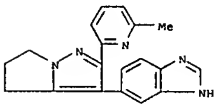
RN 705263-34-9 CAPLUS
CN 1H-Benzimidazole, 5-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-[3-[(tetrahydro-2H-pyran-2-yl)oxy]propyl]- (9CI) (CA INDEX NAME)



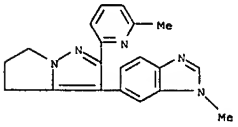
RN 705263-44-1 CAPLUS
CN 1H-Benzimidazole-1-propanol, 6-[5,6-dihydro-2-(2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

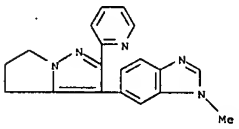
RN 705263-29-2 CAPLUS
CN 1H-Benzimidazole, 5-[5,6-dihydro-2-(6 methyl-2-pyridinyl)-4H pyrrolo[1,2-b]pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 705263-30-5 CAPLUS
CN 1H-Benzimidazole, 6-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-methyl- (9CI) (CA INDEX NAME)

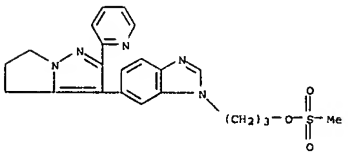


RN 705263-31-6 CAPLUS
CN 1H-Benzimidazole, 6-[5,6-dihydro-2-(2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-methyl- (9CI) (CA INDEX NAME)

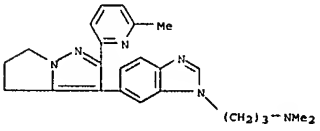


RN 705263-32-7 CAPLUS
CN 1H-Benzimidazole, 5-[5,6-dihydro-2-(2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-methyl- (9CI) (CA INDEX NAME)

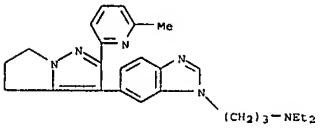
L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 705263-45-2 CAPLUS
CN 1H-Benzimidazole-1-propanamine, 6-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

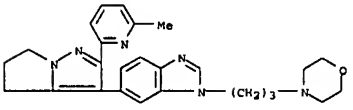


RN 705263-46-3 CAPLUS
CN 1H-Benzimidazole-1-propanamine, 6-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-N,N-diethyl- (9CI) (CA INDEX NAME)

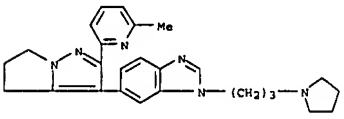


RN 705263-47-4 CAPLUS
CN 1H-Benzimidazole, 6-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-[3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)

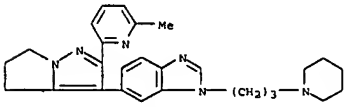
L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



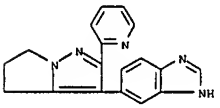
RN 705263-48-5 CAPLUS
CN 1H-Benzimidazole, 6-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-[3-(1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)



RN 705263-49-6 CAPLUS
CN 1H-Benzimidazole, 6-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-1-[3-(1-piperidinyl)propyl]- (9CI) (CA INDEX NAME)

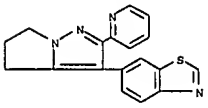


RN 705263-50-9 CAPLUS
CN 1H-Benzimidazole,
5-[5,6-dihydro-2-(2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]- (9CI) (CA INDEX NAME)

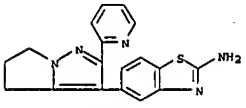


RN 705263-51-0 CAPLUS

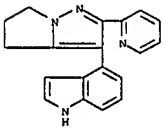
L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



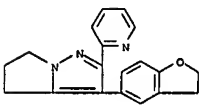
RN 705263-58-7 CAPLUS
CN 2-Benzothiazolamine, 5-[5,6-dihydro-2-(2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 705263-59-8 CAPLUS
CN 1H-Indole, 4-[5,6-dihydro-2-(2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]- (9CI) (CA INDEX NAME)

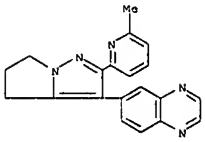


RN 705263-63-4 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole,
3-(2,3-dihydro-5-benzofuranyl)-5,6-dihydro-2-(2-pyridinyl)- (9CI) (CA INDEX NAME)

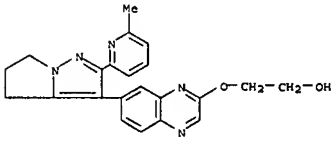


RN 705263-64-5 CAPLUS
CN 3-Benzofuranol, 5-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-, acetate (ester) (9CI) (CA INDEX NAME)

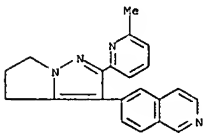
L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN Quinoxaline, 6-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 705263-53-2 CAPLUS
CN Ethanol, 2-[[7-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-2-quinoxalinyl]oxy]- (9CI) (CA INDEX NAME)

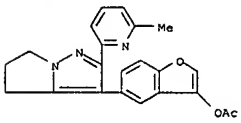


RN 705263-55-4 CAPLUS
CN Isoquinoline, 6-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]- (9CI) (CA INDEX NAME)

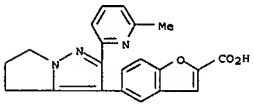


RN 705263-56-5 CAPLUS
CN Benzothiazole, 6-[5,6-dihydro-2-(2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]- (9CI) (CA INDEX NAME)

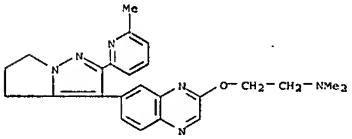
L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



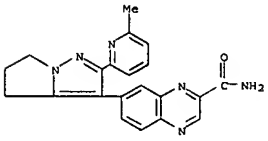
RN 705263-66-7 CAPLUS
CN 2-Benzofurancarboxylic acid, 5-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]- (9CI) (CA INDEX NAME)



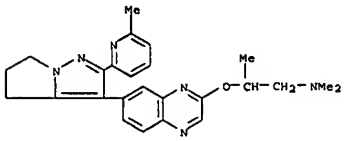
RN 705263-75-8 CAPLUS
CN Ethanamine, 2-[[7-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-2-quinoxalinyl]oxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)



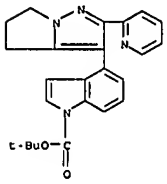
RN 705263-76-9 CAPLUS
CN 2-Quinoxalinecarboxamide, 7-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 705263-79-2 CAPLUS
CN 1-Propanamine,
2-[[7-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-2-quinoxalinyl]oxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)



IT 705263-60-1P, 4-[2-(Pyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]indole-1-carboxylic Acid tert-Butyl Ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of fused pyrazoles, in particular pyrrolopyrazoles, as TGF-β signal transduction inhibitors)
RN 705263-60-1 CAPLUS
CN 1H-Indole-1-carboxylic acid,
4-[5,6-dihydro-2-(2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
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ACCESSION NUMBER: 2004:467896 CAPLUS
DOCUMENT NUMBER: 141:23528
TITLE: Preparation of substituted pyrrolo[1,2-b]pyrazoles as mixed lineage kinase modulators
INVENTOR(S): Chatterjee, Arindam; Goodson, Theodore, Jr.; Mader, Mary Margaret; Toth, John Eldon
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004048383	A1	20040610	WO 2003-US35036	20031112
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2506799	A1	20040610	CA 2003-2506799	20031112
AU 2003298611	A1	20040618	AU 2003-298611	20031112
EP 1567528	A1	20050831	EP 2003-796362	20031112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006522735	T	20061005	JP 2004-555371	20031112

PRIORITY APPLN. INFO.: US 2002-428322P P 20021121
WO 2003-US35036 W 20031112

OTHER SOURCE(S): MARPAT 141:23528
GI

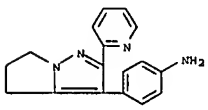
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = H, halo, alkyl; R2 = (un)substituted aryl] are prepared For instance, An appropriately substituted 1-[(2,2-disubstituted)ethylideneamino]pyrrolidin-2-one is cyclized to 7-bromo-4-[2-(pyridin-2-yl)-5,6-dihydro-4H pyrrolo[1,2-b]pyrazol-3-yl]quinoline. This intermediate is coupled to thiophene 2 boronic acid (i-PrOH, K2CO3, (PPh3)4Pd, 80°, 5 h) to give II. Certain compds. I have an IC50 ≤ 10,000 nM for mixed lineage kinase 7 (MLK7). I are useful for the treatment of congestive heart disease.
IT 700872-08-8P

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted pyrrolo[1,2-b]pyrazoles as mixed lineage kinase modulators)
RN 700872-08-8 CAPLUS
CN Benzenamine,
4-[5,6-dihydro-2-(2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 700872-07-7
CMF C17 H16 N4

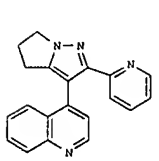


CM 2

CRN 76-05-1
CMF C2 H F3 O2

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:465518 CAPLUS
DOCUMENT NUMBER: 141:190719
TITLE: Synthesis and activity of new aryl and heteroaryl substituted 5,6 dihydro-4H-pyrrolo[1,2-b]pyrazole inhibitors of the transforming growth factor-β type I receptor kinase domain
AUTHOR(S): Sawyer, J. Scott; Beight, Douglas W.; Britt, Karen S.; Anderson, Bryan D.; Campbell, Robert M.; Goodson, Theodore; Herron, David K.; Li, Hong-Yu; McMillen, William T.; Mort, Nicholas; Parsons, Stephen; Smith, Edward C. R.; Wagner, Jill R.; Yan, Lei; Zhang, Faming; Yingling, Jonathan M.
CORPORATE SOURCE: Discovery Chemistry Research and Technology, Lilly Corporate Center, The Lilly Research Laboratories, Indianapolis, IN, 46285, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(13), 3581-3584
CODEN: BMCLE8; ISSN: 0960 894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:190719
GI



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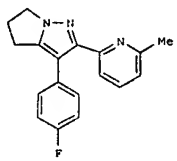
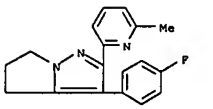


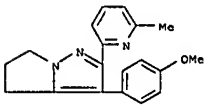
II

AB We have expanded our previously reported series of pyrazole-based inhibitors of the TGF-β type I receptor kinase domain (TβR-I) to now include new 5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole analogs. Limited examination of the SAR of this new series in both enzyme and cell based in vitro assays has revealed selectivity differences with respect to p38 MAP kinase (p38 MAPK) depending on the nature of the warhead' group on the dihydropyrrolopyrazole ring. As with our original pyrazole series, Ph substituents tended to show greater selectivity against p38 MAPK than those comprised of the quinoline-4-yl moiety. We have also achieved co crystallization and X-ray anal. of compds. I and II, two potent examples of this new series, with the TβR I receptor kinase domain.
IT 476475-07-7P
RL: BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(crystal structure; preparation and activity of aryl- and heteroaryl-substituted dihydropyrrolopyrazoles as inhibitors of the

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
transforming growth factor-β type I receptor kinase domain)
RN 476475-07-7 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 3-(4-fluorophenyl)-5,6-dihydro-2-(6-methyl-2-pyridinyl)- (CA INDEX NAME)

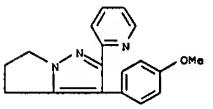


IT 476475-08-8P
RL: BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and activity of aryl- and heteroaryl-substituted dihydropyrrolopyrazoles as inhibitors of the transforming growth factor-β type I receptor kinase domain)
RN 476475-08-8 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 5,6-dihydro-3-(4-methoxyphenyl)-2-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

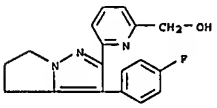


IT 476474-33-6P 476474-39-2P 476474-46-1P
476475-05-5P 476475-06-6P 476477-82-4P
476477-83-5P 737791-25-2P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and activity of aryl- and heteroaryl-substituted dihydropyrrolopyrazoles as inhibitors of the transforming growth factor-β type I receptor kinase domain)
RN 476474-33-6 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 5,6-dihydro-3-(4-methylphenyl)-2-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

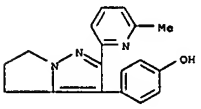
L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 476475-06-6 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 5,6-dihydro-3-(4-methoxyphenyl)-2-(2-pyridinyl)- (9CI) (CA INDEX NAME)



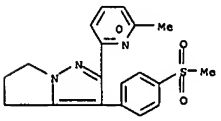
RN 476477-82-4 CAPLUS
CN 2-Pyridinemethanol, 6-[3-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-2-yl]- (9CI) (CA INDEX NAME)



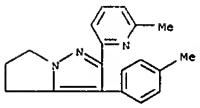
RN 476477-83-5 CAPLUS
CN Phenol, 4-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]- (9CI) (CA INDEX NAME)



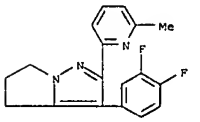
RN 737791-25-2 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 5,6-dihydro-2-(6-methyl-2-pyridinyl)-3-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



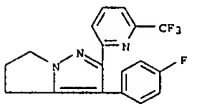
L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



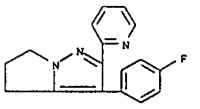
RN 476474-39-2 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 3-(3,4-difluorophenyl)-5,6-dihydro-2-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 476474-46-1 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 3-(4-fluorophenyl)-5,6-dihydro 2-[6-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



RN 476475-05-5 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 3-(4-fluorophenyl)-5,6 dihydro 2-(2-pyridinyl) (9CI) (CA INDEX NAME)



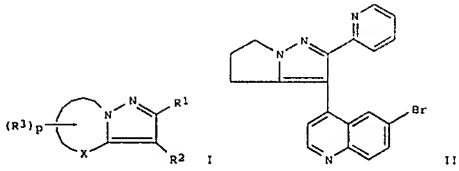
L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:906238 CAPLUS
DOCUMENT NUMBER: 138:4598
TITLE: Preparation of substituted 5,6-dihydro-4H-pyrrolo[1,2-b]pyrazoles as TGF-β signal transduction inhibitors
INVENTOR(S): Sawyer, Jason Scott; Beight, Douglas Wade; Ciapetti, Paola; Decollo, Todd Vincent; Godfrey, Alexander Glenn; Goodson, Theodore, Jr.; Herron, David Kent; Li, Hong-yu; Liao, Junkai; Mcmillen, William Thomas; Miller, Shawn Christopher; Mort, Nicolas Anthony; Yingling, Jonathan Michael; Smith, Edward C. R.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; et al.
SOURCE: PCT Int. Appl., 305 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094833	A1	20021128	WO 2002-US11884	20020513
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2446820	A1	20021128	CA 2002-2446820	20020513
AU 2002339268	A1	20021203	AU 2002-339268	20020513
AU 2002339268	B2	20070531		
EP 1397364	A1	20040317	EP 2002-744115	20020513
EP 1397364	B1	20070725		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002009939	A	20040330	BR 2002-9939	20020513
CN 1511157	A	20040707	CN 2002-810508	20020513
JP 2004535404	T	20041125	JP 2002-591506	20020513
HU 200400451	A2	20041228	HU 2004-451	20020513
NZ 528525	A	20051028	NZ 2002-528525	20020513
CN 1951939	A	20070425	CN 2006-10094674	20020513
IN 2003KN01359	A	20060317	IN 2003-KN1359	20031022
ZA 2003008546	A	20050131	ZA 2003-8546	20031031
US 2004106604	A1	20040603	US 2003-477111	20031106
US 7087626	B2	20060808		
MX 2003PA10630	A	20040309	MX 2003-PA10630	20031119
NO 2003005193	A	20031121	NO 2003-5193	20031121
PRIORITY APPLN. INFO.:			US 2001-293464P	P 20010524
			CN 2002-810508	A3 20020513

L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
WO 2002-US11884 W 20020513

OTHER SOURCE(S): MARPAT 138:4598
GI



I II

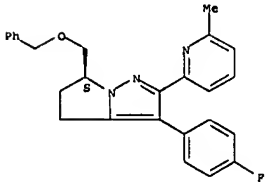
AB Title compds. I [R1 = Ph, pyridine, pyridine-N-oxide, quinoline, naphthyridine, etc.; R2 = quinoline, quinoline-N-oxide, naphthalene, pyridine, pyridine-N-oxide, quinazoline, etc.; p = 1-8; R3 = H, alkyl, alkylhydroxy, hydroxy, dialkylamino, etc.; X = C, O, S] were prepared For instance, 1-[[2-(6-Bromoquinolin-4-yl)-1-(pyridin-2-yl)ethylidene]amino]pyrrolidin-2-one (preparation given) was treated with NaH in DMF at 80-85° for 18 h to afford II in 54% yield. Selected compds. of the invention had IC50 < 20.00 μM for the TGF β type I receptor.

IT 476473-95-7P, (S)-6-Benzyloxymethyl-3-(4-fluorophenyl)-2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole 476475-08-8P, 3-(4-Methoxyphenyl)-2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole 476476-36-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of (hetero)aromatic substituted 5,6-dihydro-4H pyrrolo[1,2-b]pyrazoles as TGF-β signal transduction inhibitors)

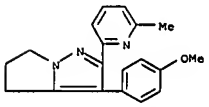
RN 476473-95-7 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 3-(4-fluorophenyl)-5,6-dihydro-2-(6-methyl-2-pyridinyl)-6-[(phenylmethoxy)methyl]-, (6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

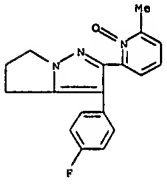
L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 476475-08-8 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 5,6-dihydro-3-(4-methoxyphenyl)-2-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

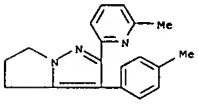


RN 476476-36-5 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 3-(4-fluorophenyl)-5,6-dihydro-2-(6-methyl-1-oxido-2-pyridinyl)- (9CI) (CA INDEX NAME)



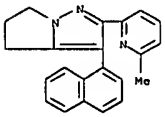
IT 476474-33-6P, 2-(6-Methylpyridin-2-yl)-3-(p-tolyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole 476474-35-8P, 2-(6-Methylpyridin-2-yl)-3-(naphthalen-1-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole 476474-38-1P, 3-(4-Fluoronaphthalen-1-yl)-2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole 476474-39-2P, 3-(3,4-Difluorophenyl)-2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole 476474-42-7P, 6-[2-(Pyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]quinoline 476474-43-8P, 6-[2-(6-Methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]quinoline 476474-44-9P, 3-(Naphthalen-2-yl)-2-(pyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole 476474-45-0P, 2-(6-Methylpyridin-2-yl)-3-(naphthalen-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole 476474-46-1P, 3-(4-Fluorophenyl)-2-(6-trifluoromethylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole 476474-54-1P, 3-(3-Chloro-4-fluorophenyl)-2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole 476474-55-2P, 3-(2-Chloro-4-fluorophenyl)-2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole 476474-57-4P, 3-(4-Fluoro-3-trifluoromethylphenyl)-2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole 476474-58-5P, 2-(6-Methylpyridin-2-yl)-3-(2,4,5-trifluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole 476474-72-3P, 3-(4-Fluorophenyl)-5,5-dimethyl-2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole 476474-73-4P, (R)-6-Benzyloxymethyl-3-(4-fluorophenyl)-2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole 476474-74-5P, 5-(4-Chlorophenyl)-3-(4-fluorophenyl)-2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole 476475-05-5P, 3-(4-Fluorophenyl)-2-(pyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole 476475-06-6P, 3-(4-Methoxyphenyl)-2-(pyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole 476475-07-7P, 3-(4-Fluorophenyl)-2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole 476475-36-2P, 3-Benzo[1,3]dioxol-5-yl-2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole 476475-41-9P, 8-[2-(6-Methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]quinoline 476476-30-9P, 3-(4-Fluorophenyl)-6-methylene-2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole 476477-82-4P, [6-[3-(4-Fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-2-yl]pyridin-2-yl]methanol 476477-83-5P, 4-[2-(6-Methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]phenol 476477-87-9P, (S)-[3-(4-Fluorophenyl)-2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-6-yl]methanol 476477-88-0P, (R)-[3-(4-Fluorophenyl)-2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-6-yl]methanol 476477-89-1P 476477-91-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (hetero)arom. substituted 5,6-dihydro-4H-pyrrolo[1,2-b]pyrazoles as TGF-β signal transduction inhibitors)

RN 476474-33-6 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 5,6-dihydro-3-(4-methylphenyl)-2-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

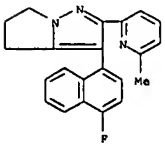


RN 476474-35-8 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 5,6-dihydro-2-(6-methyl-2-pyridinyl)-3-(1-

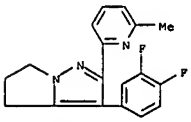
L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
naphthalenyl)- (9CI) (CA INDEX NAME)



RN 476474-38-1 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 3-(4-fluoro-1-naphthalenyl)-5,6-dihydro-2-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

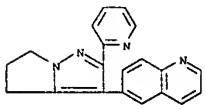


RN 476474-39-2 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 3-(3,4-difluorophenyl)-5,6-dihydro-2-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

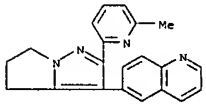


RN 476474-42-7 CAPLUS
CN Quinoline, 6-[5,6-dihydro-2-(2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]- (9CI) (CA INDEX NAME)

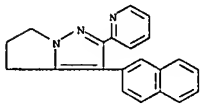
L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



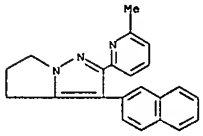
RN 476474-43-8 CAPLUS
CN Quinoline, 6-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]- (9CI) (CA INDEX NAME)



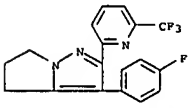
RN 476474-44-9 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 5,6-dihydro-3-(2-naphthalenyl)-2-(2-pyridinyl)- (9CI) (CA INDEX NAME)



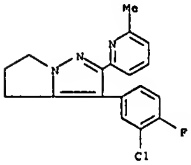
RN 476474-45-0 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 5,6-dihydro-3-(6-methyl-2-pyridinyl)-3-(3-naphthalenyl)- (9CI) (CA INDEX NAME)



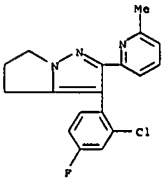
L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 476474-46-1 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 3-(4-fluorophenyl)-5,6-dihydro-2-[6-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



RN 476474-54-1 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 3-(3-chloro-4-fluorophenyl)-5,6-dihydro-2-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

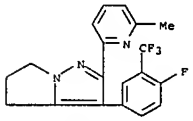


RN 476474-55-2 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 3-(2-chloro-4-fluorophenyl)-5,6-dihydro-2-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

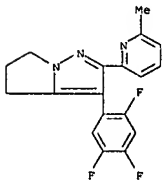


RN 476474-57-4 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 3-[4-fluoro-3-(trifluoromethyl)phenyl]-5,6-dihydro-2-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

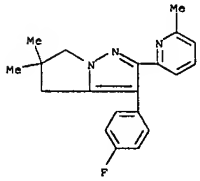
L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 476474-58-5 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 5,6-dihydro-2-(6-methyl-2-pyridinyl)-3-(2,4,5-trifluorophenyl)- (9CI) (CA INDEX NAME)



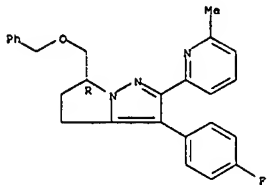
RN 476474-72-3 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 3-(4-fluorophenyl)-5,6-dihydro-5,5-dimethyl-2-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



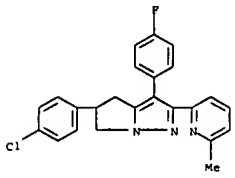
RN 476474-73-4 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 3-(4-fluorophenyl)-5,6-dihydro-2-(6-methyl-2-pyridinyl)-6-[(phenylmethoxy)methyl]-, (6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

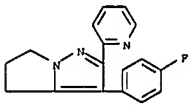
L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 476474-74-5 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 5-(4-chlorophenyl)-3-(4-fluorophenyl)-5,6-dihydro-2-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

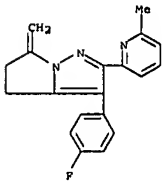


RN 476475-05-5 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 3-(4-fluorophenyl)-5,6-dihydro-2-(2-pyridinyl)- (9CI) (CA INDEX NAME)

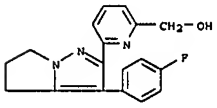


RN 476475-06-6 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 5,6-dihydro-3-(4-methoxyphenyl)-2-(2-pyridinyl)- (9CI) (CA INDEX NAME)

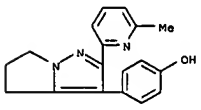
L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 476477-82-4 CAPLUS
CN 2-Pyridinemethanol, 6-[3-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-2-yl]- (9CI) (CA INDEX NAME)



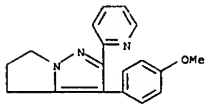
RN 476477-83-5 CAPLUS
CN Phenol, 4-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]- (9CI) (CA INDEX NAME)



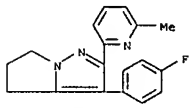
RN 476477-87-9 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole-6-methanol, 3-(4-fluorophenyl)-5,6-dihydro-2-(6-methyl-2-pyridinyl)-, (6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

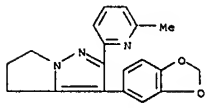
L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



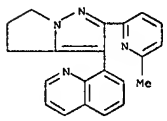
RN 476475-07-7 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 3-(4-fluorophenyl) 5,6 dihydro 2 (6 methyl-2-pyridinyl)- (CA INDEX NAME)



RN 476475-36-2 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 3-(1,3-benzodioxol-5-yl)-5,6 dihydro-2-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

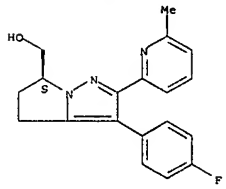


RN 476475-41-9 CAPLUS
CN Quinoline, 8-[5,6 dihydro-2-(6-methyl-2-pyridinyl) 4H pyrrolo[1,2-b]pyrazol-3 yl] (9CI) (CA INDEX NAME)



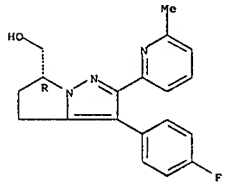
RN 476476-30-9 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole, 3-(4-fluorophenyl)-5,6-dihydro-6-methylene-2-(6-

L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



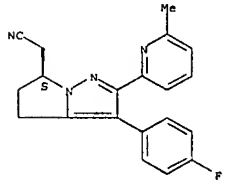
RN 476477-88-0 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole-6-methanol, 3-(4-fluorophenyl)-5,6-dihydro-2-(6-methyl-2-pyridinyl)-, (6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 476477-89-1 CAPLUS
CN 4H-Pyrrolo[1,2 b]pyrazole-6-acetonitrile, 3-(4-fluorophenyl)-5,6 dihydro-2-(6-methyl 2 pyridinyl) , (6S) (9CI) (CA INDEX NAME)

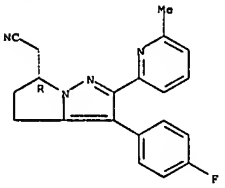
Absolute stereochemistry.



L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

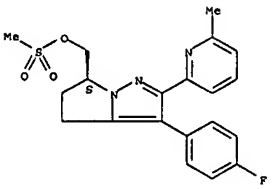
RN 476477-91-5 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole-6-acetonitrile, 3-(4-fluorophenyl)-5,6-dihydro-2-(6-methyl-2-pyridinyl)-, (6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 476477-90-4, (S)-Methanesulfonic acid [3-(4-fluorophenyl)-2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-6-yl]methyl ester
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of (hetero)aromatic substituted 5,6-dihydro-4H-pyrrolo[1,2-b]pyrazoles as TGF-β signal transduction inhibitors)
RN 476477-90-4 CAPLUS
CN 4H-Pyrrolo[1,2-b]pyrazole-6-methanol, 3-(4-fluorophenyl)-5,6-dihydro-2-(6-methyl-2-pyridinyl)-, methanesulfonate (ester), (6S)- (9CI) (CA INDEX NAME)

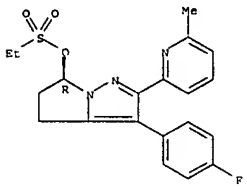
Absolute stereochemistry.



IT 476474-09-6P, (R)-Methanesulfonic acid 3-(4-fluorophenyl)-2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-6-ylmethyl ester

L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of (hetero)arom. substituted 5,6-dihydro-4H-pyrrolo[1,2-b]pyrazoles as TGF-β signal transduction inhibitors)
RN 476474-09-6 CAPLUS
CN Ethanesulfonic acid, (6R)-3-(4-fluorophenyl)-5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-6-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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